```
=> d que
L1
               STR
       13
                       0 @14
       G2
                               O√Ak
                                          0~ Cp
                                                      O~Ak~Cb
                              @15 16
                                          @17 18
                                                     @19 20 21
    CH2 N \sim C = 0
       10 11 . 12
                                      Search for R=(dZ) (claim zz)
    08
```

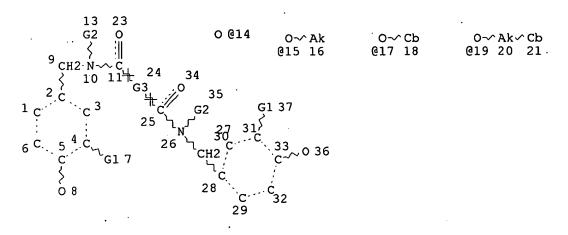
Ak @22

```
VAR G1=14/15/17/19
VAR G2=H/22
NODE ATTRIBUTES:
CONNECT IS E2 RC AT
                         1 .
CONNECT IS E2
                RC AT
                         3
CONNECT IS E2
                RC AT
                         6
CONNECT IS E3
                RC AT
                        11
                RC AT
CONNECT IS E1
                        14
CONNECT IS E1
                RC AT
                        16
                RC AT
CONNECT IS E1
                        18
                RC AT
CONNECT IS E2
                        20
CONNECT IS E1
                RC AT
                        21
CONNECT IS E1
                RC AT
DEFAULT MLEVEL IS ATOM
GGCAT
        IS SAT
                AT
        IS UNS
                 AT
GGCAT
                     21
DEFAULT ECLEVEL IS LIMITED
{\tt ECOUNT}_{\,\cdot\,} IS X7 C
                 ΑT
                       18
ECOUNT IS M6 C AT
```

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L3 2379 SEA FILE=REGISTRY SSS FUL L1
L5 STR



Ak @22

```
VAR G1=14/15/17/19
VAR G2=H/22
REP G3=(0-20) A
NODE ATTRIBUTES:
CONNECT IS E2
               RC AT
CONNECT IS E2
               RC AT
                        3
CONNECT IS E2
               RC AT
                        6
CONNECT IS E3
               RC AT
                       11
CONNECT IS E1
               RC AT
                       14
CONNECT IS E1
               RC AT
                       ′16
CONNECT IS E1
               RC AT
                       18
CONNECT IS E2
               RC AT
                       20
CONNECT IS E1
               RC AT
                       21
CONNECT IS E1
               RC AT
                       22
DEFAULT MLEVEL IS ATOM
        IS SAT
                AT
GGCAT
        IS UNS
                AT
GGCAT
                     21
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS X7 C
                 AT
                      18
ECOUNT IS M6 C
                 AΤ
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 36

STEREO ATTRIBUTES: NONE

L6 22 SEA FILE=REGISTRY SUB=L3 SSS FUL L5

9 SEA FILE=HCAPLUS ABB=ON PLU=ON L6

=> d ibib abs hitstr 17 1-9

L7 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:637657 HCAPLUS

DOCUMENT NUMBER:

137:185420

TITLE:

Preparation of pyridinedicarboxamide and -dicarboxylic

acid derivatives as selective MMP-13 matrix

metalloproteinase inhibitors with therapeutic uses

INVENTOR(S):

Barvian, Nicole Chantel; Connor, David Thomas;

O'brien, Patrick Michael; Ortwine, Daniel Fred; Patt, William Chester; Shuler, Kevon Ray; Wilson, Michael

William ·

PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE:

PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	KIND		DATE			A.	PPLI	CATI	ON NO	ο.	DATE							
WO	2002064568			A 1		20020822			WO 2002-IB345					20020204.				
	W: AE, AG,			AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	
		UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
US 2002161000 A1 20021031 US 2002-71073 20020208													0208					
PRIORITY APPLN. INFO.: US 2001-268781P P 20010214													0214					
OTHER SO	DURCE	(S):			MAF	RPAT	137:	1854	20									
GI																•		

Selective MMP-13 inhibitors are pyridine derivs. (I; e.g. AΒ pyridine-2, 4-dicarboxylic acid bis(3-methoxybenzylamide)) or a pharmaceutically acceptable salt thereof, wherein: R1 and R2 independently are H, halo, hydroxy, C1-C6 alkyl, C1-C6 alkoxy, C2-C6 alkenyl, C2-C6 alkynyl, NO2, NR4R5, CN, or CF3; E is independently O or S; A and B independently are OR4 or NR4R5; R4 and R5 independently are H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, (CH2)n aryl, (CH2)n cycloalkyl, (CH2)n heteroaryl, or R4 and R5 when taken together with the N to which they are attached complete a 3- to 8-membered ring contg. C atoms and optionally contg. a heteroatom selected from O, S, or NH, and optionally substituted or unsubstituted; n is 0 to 6. Although I and other Markush structures in the patent show 2,4- derivs., many specific 3,5- derivs. are included in the claims and examples. Combinatorial and non-combinatorial methods were used to prep. numerous claimed compds. and characterization data is reported for about 90 compds. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. MMP-1 and MMP-3 and the

potent MMP-13 inhibitory activity (e.g. 0.033 .mu.M for
 pyridine-2,4-dicarboxylic acid bis[((1,3-benzodioxol-5-yl)methyl)amide]).

449734-48-9P, Pyridine-2,4-dicarboxylic acid bis(3,4 dimethoxybenzylamide)
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU
 (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);
 PREP (Preparation); USES (Uses)
 (prepn. of pyridine-2,4-dicarboxamide and -dicarboxylic acid derivs. as selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449734-48-9 HCAPLUS
CN 2,4-Pyridinedicarboxamide, N,N'-bis[(3,4-dimethoxyphenyl)methyl]- (9CI)
 (CA INDEX NAME)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:555444 HCAPLUS

DOCUMENT NUMBER:

137:124995

TITLE:

Preparation of symmetrically disubstituted aromatic compounds and pharmaceutical compositions for the inhibition and/or modulation of human poly(ADP-ribose)

glycohydrolase (PARG) activity

INVENTOR(S):

Li, Jia-He; Ferraris, Dana V.; Kletzly, Paul W.; Li,

Weixing; Wang, Eric Yanjun; Xing, Amy D.; Xu,

Weizheng; Zhang, Jie

PATENT ASSIGNEE(S):

Guilford Pharmaceuticals, Inc., USA

SOURCE:

PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KI	D	DATE			A										
WO 2002057211			Α	 1	2002	0725		WO 2001-US11623 20010410											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	DZ,	EE,	EE,	ES,	FI,		
		FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,		
		KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK,	SL,	ТJ,	TM,		
		TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,		
		RU,	TJ,	TM							•								
	RW:	GH,	GM,	ΚĖ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	ΒE,	CH,	CY,		
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,		
		ВJ,												TD,					
US 2002132852				A	2002		US 2001-829827 20010410												

PRIORITY APPLN. INFO.:

US 2001-261738P P 20010116

OTHER SOURCE(S): MARPAT 137:124995

GI

$$Y + CH_2 + A - CO \qquad X \qquad CO - A + CH_2 + Y \qquad I$$

$$Y + CH_2 + NH - CO \qquad CO - NH + CH_2 + Y \qquad II$$

$$Q - N \qquad N + CH_2 + CO \qquad X \qquad CO + CH_2 + N \qquad N - Q$$

$$III$$

$$Ph + CH_2 + NH - CO \qquad CO - NH + CH_2 + Ph$$

$$IV$$

Title compds. I, II, III, etc., pharmaceutically acceptable salts, prodrugs, or metabolites [A = CH2, O, S, NH; n = 0-4; Q = (un)substituted aryl, heteroaryl; X = CO, CH2, CCl2; Y = H, (un)substituted cycloalkyl, aryl, etc.] were prepd. For example, amidation of 9-fluorenone-2,7-diacyl chloride with 3-phenylpropylamine provided fluorenone IV, which inhibited human recombinant PARG at an IC50 of 1.7 .mu.M. PARG IC50 inhibition studies for an addnl. 59 examples are provided, ranging in values from 1.1-106 .mu.M. Compds. I-III are useful in treating diseases and disorders due to free radical or reactive oxygen species, induced cellular energy depletion and/or tissue damage resulting from cell damage or death. IT 443794-52-3P 443794-81-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of sym. disubstituted arom. compds. for the inhibition and/or modulation human PARG activity)

RN 443794-52-3 HCAPLUS

CN 3,9-Fluoranthenedicarboxamide, N,N'-bis[(3,4-dimethoxyphenyl)methyl](9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \text{OMe} \\ \text{CH}_2 - \text{NH} - \text{C} \\ \end{array}$$

443794-81-8 HCAPLUS RN

9H-Fluorene-2,7-dicarboxamide, N,N'-bis[(3,4-dihydroxyphenyl)methyl]-9-oxo-CN (CA INDEX NAME)

HO
$$CH_2-NH-C$$
 $C-NH-CH_2$ OH OH

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2003 ACS ANSWER 3 OF 9 2002:511742 HCAPLUS

ACCESSION NUMBER:

137:216814

DOCUMENT NUMBER: TITLE:

N-Acylvanillamides: Development of an Expeditious

Synthesis and Discovery of New Acyl Templates for

Powerful Activation of the Vanilloid Receptor Appendino, Giovanni; Minassi, Alberto; Morello,

Aniello Schiano; De Petrocellis, Luciano; Di Marzo,

Vincenzo

CORPORATE SOURCE:

Dipartimento di Scienze Chimiche Alimentari,

Farmaceutiche e Farmacologiche, Novara, 28100, Italy

SOURCE:

AUTHOR(S):

Journal of Medicinal Chemistry (2002), 45(17),

3739-3745

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 137:216814

A simple and general synthesis of vanillamides was developed and employed to screen acids from the fatty and isoprenoid pools for new acyl templates of biol. relevance as capsaicin analogs. Potent activation of the human vanilloid receptor 1 (VR1) was obsd. for the vanillamides of certain polyfunctional acids from both pools, showing that the vanilloid activity of capsaicinoids can be substantially improved by introducing polar groups and/or unsaturations on the acyl moiety. The activity of the unsatd. analogs was maintained or even increased by cyclopropanation, while .omega. dimerization led to a substantial increase of activity. Because of the wide structural diversity of the library of compds. screened, these observations could not be translated into a single framework of

structure-activity relationships. Nevertheless, a series of new highly active leads was identified, validating the pharmacol. potential of the unnatural combination of natural building blocks to provide new bioactive compds.

ΙT 457067-23-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant

(prepn. of N-acylvanillamines as templates for vanilloid receptor activators)

RN 457067-23-1 HCAPLUS

10-Eicosenediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) CN (CA INDEX NAME)

PAGE 1-B

__ OH

ΙT 261946-50-3P 457067-21-9P 457067-22-0P

457067-24-2P 457067-25-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of N-acylvanillamines as templates for vanilloid receptor activators)

261946-50-3 HCAPLUS RN

Nonanediamide, N, N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) CN INDEX NAME)

457067-21-9 HCAPLUS RN

CN Decanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) INDEX NAME)

RN 457067-22-0 HCAPLUS

CN Eicosanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

OMe OMe OMe OH
$$CH_2-NH-C-(CH_2)_{18}-C-NH-CH_2$$

RN 457067-24-2 HCAPLUS

CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]-, (1R,2S,3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 457067-25-3 HCAPLUS

CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]-, (1R,2R,3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:466014 HCAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

137:27397

TITLE:

Cobalt-porphyrin complexes and use thereof as an

anti-obesity agent

INVENTOR(S):

Szabo, Tomas R.; Ghosh, Soumitra S.; Davis, Robert E.

PATENT ASSIGNEE(S):

Mitokor, USA

SOURCE:

PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					DATE			A.	PPLI	CATI	ои ис	ο.	DATE				
	2002048154							•	W	200	01-U	s482	79	2001	1214			
WO				A3		20020919												
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		•		-										ΚZ,				
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		•			-									TR,				
		υĠ,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM	
	RW:													AT,				
	•													PT,				
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
AU	AU 2002041628								Αl	J 200	02-4	1628						
US	US 2002165216 A1				1	2002	1107		US 2001-20867			0867						
PRIORITY APPLN. INFO.:				. :				1	US 2	2000-255960P		Ρ						
											2001							
OTHER S		MAR	PAT	137:	2739	7												

OTHER SOURCE(S): MARPAT 137:2739

GI

Claimed are cobalt-porphyrin (Co-P) complexes I (R1, R2 are various carboxy, oxycarbonyl, carboxamide, aminocarbonyl groups, etc.; R3, R4 = CH:CH2 or Et; L1 and L2 are optional ligands; and with proviso that the cobalt-porphyrin complex has no more than 5% of the redox activity of cobalt mesoporphyrin), or a salt, and their use as antiobesity agents, and related compns. and methods. The Co-P complexes, e.g., prepd. complex I [R1 = R2 = (CH2)2C(O)OMe, R3 = R4 Et, L1 = L2 = H2NCH2CO2-], exhibit reduced redox activity compared to cobalt mesoporphyrin (Co-MP) and cobalt protoporphyrin (Co-PP), which alleviates the deleterious effects assocd. with administration of Co-P assocd. with oxidative stress, particularly in the context of injection site toxicity. An example compd. of the invention does not trigger generation of reactive oxygen species in SH-SY5Y neuroblastoma cells compared to cobalt protoporphyrin (Co-PP).

IT 435340-45-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cobalt porphyrin complexes as antiobesity agents)

RN 435340-45-7 HCAPLUS

CN Cobalt(1+), [N,N'-bis[(3,4-dimethoxyphenyl)methyl]-7,12-diethyl-3,8,13,17-tetramethyl-21H,23H-porphine-2,18-dipropanamidato(2-)-.kappa.N21,.kappa.N22,.kappa.N23,.kappa.N24]bis(1H-imidazole-.kappa.N3)-,

chloride, (OC-6-13) - (9CI) (CA INDEX NAME)

Ι

C1 =

HCAPLUS COPYRIGHT 2003 ACS ANSWER 5 OF 9

ACCESSION NUMBER:

2001:15514 HCAPLUS

DOCUMENT NUMBER:

134:204940

TITLE:

Efficacies of lipophilic inhibitors of dihydrofolate

reductase against parasitic protozoa

AUTHOR(S):

Lau, Hollis; Ferlan, Jill T.; Brophy, Victoria Hertle;

Rosowsky, Andre; Sibley, Carol Hopkins

CORPORATE SOURCE:

Department of Genetics, University of Washington,

Seattle, WA, 98195-7360, USA

SOURCE:

Antimicrobial Agents and Chemotherapy (2001), 45(1),

187-195

CODEN: AMACCQ; ISSN: 0066-4804 American Society for Microbiology

DOCUMENT TYPE:

PUBLISHER:

Journal

LANGUAGE:

English

Competitive inhibitors of dihydrofolate reductase (DHFR) are used in ΑB chemotherapy or prophylaxis of many microbial pathogens, including the eukaryotic parasites Plasmodium falciparum and Toxoplasma gondii. Unfortunately, point mutations in the DHFR gene can confer resistance to inhibitors specific to these pathogens. We have developed a rapid system for testing inhibitors of DHFRs from a variety of parasites. We replaced the DHFR gene from the budding yeast Saccharomyces cerevisiae with the DHFR-coding region from humans, P. falciparum, T. gondii, Pneumocystis carinii, and bovine or human-derived Cryptosporidium parvum. We studied 84 dicyclic and tricyclic 2,4-diaminopyrimidine derivs. in this heterologous system and identified those most effective against the DHFR enzymes from each of the pathogens. Among these compds., six tetrahydroquinazolines were effective inhibitors of every strain tested, but they also inhibited the human DHFR and were not selective for the parasites. However, two quinazolines and four tetrahydroquinazolines were both potent and selective inhibitors of the P. falciparum DHFR. These compds. show promise for development as antimalarial drugs.

IT 328402-39-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa)

RN 328402-39-7 HCAPLUS

CN Pentanediamide, 2-[[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzo yl]amino]-N,N'-bis[(3,4-dimethoxyphenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

__ OMe

REFERENCE COUNT:

49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:209882 HCAPLUS

DOCUMENT NUMBER:

132:241970

TITLE:

Pharmaceutical compositions containing

```
N-acylvanillinamide derivatives capable of activating
```

peripheral cannabinoid receptors

INVENTOR(S): Bisogno, Tiziana; Della Valle, Francesco; De

Petrocellis, Luciano; Di Marzo, Vincenzo; Marcolongo,

Gabriele; Melck, Dominique

PATENT ASSIGNEE(S):

Innovet Italia S.r.l., Italy; Consiglio Nazionale

ADDITION NO

Delle Ricerche

SOURCE:

PCT Int. Appl., 68 pp.

CODEN: PIXXD2

חאייים

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DATENT NO

	PAT	ENT		N D					LLTI(
		2000016756 2000016756			A	2	20000330			WO 1999-EP6980 19990921										
	WO						, AZ, BA,		D.D.	D.C	DD.	DV	~ 7	CII	CNI	CII	C 7	DE		
		w :																		
							GB,													
							ΚZ,													
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,		
			TR,	TT,	TZ,	UA,	ŬĠ,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,		
				TJ,																
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,		
			DK,	ES,	FI,	FR,	GB,	GR,	ΙĒ,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,		
			CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
	IT	1302	264		B1 20000905				I'	T 199	98-M	4	19980924							
	ΑU	9960	860		A1 20000410				Αl	0921	-									
	ΕP	1115	392		A.	2	2001	20010718		E	P 199	99-9	4739	4	1999	0921				
	ΕP	1115	392		В	1	20021211			-										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
							FI,													
	AT	2293	30	•	E	•	2002	1215		A'	T 199	99-9	4739	4	1999	0921				
PRIOF															1998					
2										WO 1999-EP6980 N										

MARPAT 132:241970 OTHER SOURCE(S):

Pharmaceutical compns. contg. N-acylvanillinamide derivs. capable of activating the peripheral receptor CB1 of cannabinoids (Markush structures) are disclosed. N-(4-hydroxy-3-methoxybenzyl)oleyalmide (I) was prepd. by the reaction of oleic acid, 4-methylmorpholine, and 4-hydroxy-3-methoxybenzylmine hydrochloride. The specific binding of I to mouse neuroblastoma cells and rat leukemia basophil cell was 1.64 .mu.M and >15 .mu.M, resp. A tablet contained 30, lactose 85, corn starch 75, talc 6, magnesium stearate 2, and CM-cellulose 2 mg.

IT 261946-50-3P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. contg. N-acylvanillinamide derivs. capable of activating peripheral cannabinoid receptors)

261946-50-3 HCAPLUS RN

Nonanediamide, N,N'-bis[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) CN INDEX NAME)

L7 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1995:847810 HCAPLUS

DOCUMENT NUMBER: 123:329256

TITLE: Synthesis and biological activity of substituted

(3, 3-dimethyl-1, 2, 3, 4-tetrahydroisoquinolylidene-

1)acet- and malonanilides

AUTHOR(S): Boronenkova, Ye. S.; Syropyatov, B. Ya.; Gorbunov, A.

A.; Shklyaev, V. S.; Shklyaev, Yu. V.

CORPORATE SOURCE: Inst. Tekh. Khim., UrO RAN, Perm, Russia

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1994), (8), 18-21

CODEN: KHFZAN; ISSN: 0023-1134

PUBLISHER: Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The prepn. and biol. activity of substituted (3,3-dimethyl-1,2,3,4-tetrahydroisoquinolylidene-1)acetanilides and malonanilides is described and their antiarrhythmic and platelet aggregation inhibiting activity related to the structure. The toxicity of the compds. was also studied.

IT 170658-30-7P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure-related biol. activity of substituted isoquinolylidene acetanilides and malonanilides)

RN 170658-30-7 HCAPLUS

CN Propanediamide, 2-(3,4-dihydro-3,3-dimethyl-1(2H)-isoquinolinylidene)-N,N'-bis[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

HCAPLUS COPYRIGHT 2003 ACS ANSWER 8 OF 9 L7

ACCESSION NUMBER:

1990:217513 HCAPLUS

DOCUMENT NUMBER:

112:217513

TITLE:

New peptide chelating systems. Synthesis of tricatechol peptide based on L-glutamy1-3,4-

dimethoxybenzylamine

AUTHOR(S):

Pastuszak, J. J.

CORPORATE SOURCE:

Dep. Org. Chem., Tech. Univ. Gdansk, Gdansk,

PL-80-952, Pol.

SOURCE:

Journal fuer Praktische Chemie (Leipzig) (1989),

331(3), 521-4

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 112:217513

Tripeptide amide H-[Glu(NHR)]2-Gly-NHR [R = CH2C6H3(OMe)2-3,4], a potential ferric ion ligand, was prepd. by stepwise couplings of phthalyl-.gamma.-glutamylveratrylamine and phthalylglycylveratrylamine.

ΙT 127106-79-0P 127106-81-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of, with hydrazine)

127106-79-0 HCAPLUS RN

Pentanediamide, 2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N5-[(3,4-CN dimethoxyphenyl)methyl]-N1-[2-[[(3,4-dimethoxyphenyl)methyl]amino]-2oxoethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 127106-81-4 HCAPLUS

CN Glycinamide, N2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-5-[[(3,4-dimethoxyphenyl)methyl]amino]-1,5-dioxopentyl]-N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

| OMe

IT 127106-82-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
 (prepn. and demethylation of)

RN 127106-82-5 HCAPLUS

CN Glycinamide, N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 127106-80-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and peptide coupling of, with glutamic acid deriv.)
RN 127106-80-3 HCAPLUS

CN Glycinamide, N-[(3,4-dimethoxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

IT 127106-83-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 127106-83-6 HCAPLUS

CN Glycinamide, N-[(3,4-dihydroxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dihydroxyphenyl)methyl]-L-glutaminyl-N-[(3,4-dihydroxyphenyl)methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L7 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1986:625922 HCAPLUS

DOCUMENT NUMBER:

105:225922

TITLE:

The reaction of N-substituted-.alpha.-chloroacetamide

with potassium tert-butoxide

AUTHOR(S):

Kido, Kazuko; Watanabe, Yasuo

CORPORATE SOURCE:

Daiichi Coll. Pharma Sci., Fukuoka, Japan

SOURCE:

Daiichi Yakka Daigaku Kenkyu Nenpo (1985), 16, 15-20 CODEN: DYDNDM; ISSN: 0286-8016

DOCUMENT TYPE:

LANGUAGE:

Journal Japanese

GΙ

Ι

AB N-Substituted-.alpha.-chloroacetamides were treated with KOCMe3 in Me3COH at boiling for 8 h to give 1,4-disubstituted-2,5-piperazinediones .alpha.-tert-butoxy-N-substituted acetamides and N, N'-disubstituted diglycolic diamides. Thus, PhCH2NHCOCH2Cl gave 28.9% piperazine I, 29.4% PhCH2NHCOCH2OCMe3, and 6.2% (PhCH2NHCOCH2)2O.

IT 105397-57-7P

RL: FORM (Formation, nonpreparative); PREP (Preparation) (formation of, in reaction of benzylchloroacetamide derivs. with potassium tertiary-butoxide)

RN 105397-57-7 HCAPLUS

CN Acetamide, 2,2'-oxybis[N-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ CH_2-NH-C-CH_2-O-CH_2-C-NH-CH_2 \\ \hline \\ OMe & OMe \\ \end{array}$$